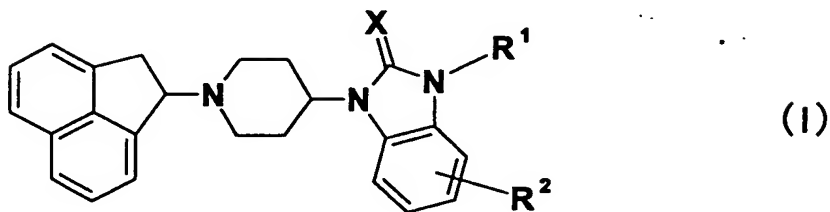


### Claims

1. A preventive and/or therapeutic agent for a sleep disorder containing an ORL-1 receptor agonist.
- 5 2. A preventive and/or therapeutic agent for a sleep disorder comprising a therapeutically effective amount of an ORL-1 receptor agonist and pharmaceutically acceptable additives.
3. The preventive and/or therapeutic agent of claim 1 or 2,  
10 wherein the sleep disorder is a circadian rhythm sleep disorder.
4. The preventive and/or therapeutic agent of claim 3, wherein the circadian rhythm sleep disorder is a jet-lag syndrome.
- 15 5. The preventive and/or therapeutic agent of claim 3, wherein the circadian rhythm sleep disorder is shift-work sleep disorder.
6. The preventive and/or therapeutic agent of claim 3, wherein the circadian rhythm sleep disorder is a delayed sleep phase  
20 syndrome.
7. The preventive and/or therapeutic agent of claim 1 or 2, used for preventing and/or treating the symptoms involved in a geriatric circadian rhythm sleep disorder.
- 25 8. The preventive and/or therapeutic agent of claim 1 or 2, used for bright light therapy.
9. The preventive and/or therapeutic agent of claim 1 or 2,  
30 wherein the ORL-1 receptor agonist has an affinity of 1000 nmol/L or less  $IC_{50}$  value for the ORL-1 receptor, and further inhibits cAMP elevation caused by a cAMP inducer by 50% or more at a concentration of 1000 nmol/L or less.

10. A compound represented by the formula (I)



wherein

$R^1$  is

- 5 (1) hydrogen,
- (2) lower alkyl,
- (3) lower alkenyl,
- (4)  $-C(O)$ -lower alkyl,
- (5)  $-C(O)O$ -lower alkyl,
- 10 (6)  $-C(O)$ -phenyl (the phenyl group may be substituted with lower alkyl, halogen, lower alkoxy, phenoxy or benzyloxy),
- (7) lower alkyl-carboxyl,
- (8) lower alkyl- $C(O)$ -phenyl (the phenyl group may be substituted with lower alkyl, halogen, lower alkoxy, phenoxy or
- 15 benzyloxy),
- (9) lower alkyl- $C(O)O$ -lower alkyl,
- (10) lower alkenyl- $C(O)O$ -lower alkyl,
- (11) lower alkyl- $O$ -lower alkyl,
- (12) lower alkyl- $C(O)NR^3R^4$ ,
- 20 (13)  $-S(O)_2$ -lower alkyl,
- (14)  $-S(O)_2$ -phenyl (the phenyl group may be substituted with lower alkyl, halogen, lower alkoxy, phenoxy or benzyloxy),
- (15) lower alkyl- $S$ -lower alkyl,
- (16) lower alkyl- $S(O)$ -lower alkyl,
- 25 (17) lower alkyl- $S(O)_2$ -lower alkyl,
- (18) lower alkyl- $S(O)_2NR^3R^4$ ,
- (19) phenyl (the phenyl group may be substituted with lower alkyl, halogen, lower alkoxy, phenoxy or benzyloxy), or
- (20) benzyl (the phenyl group may be substituted with lower
- 30 alkyl, halogen, lower alkoxy, phenoxy or benzyloxy),

R<sup>2</sup> is hydrogen, lower alkyl, halogen, lower alkoxy, phenoxy,  
benzyloxy, trifluoromethyl, nitro, amino or cyano,  
R<sup>3</sup> and R<sup>4</sup>

may be the same or different, and each is hydrogen, lower  
alkyl or lower alkenyl, or R<sup>3</sup> and R<sup>4</sup> may bind with an  
adjacent nitrogen atom to form a saturated nitrogen-  
containing hetero ring (the hetero ring may be substituted  
with lower alkyl, halogen, lower alkoxy, phenoxy or  
benzyloxy), and

X is O or S.),  
a racemic mixture thereof, an enantiomer corresponding thereto,  
or a pharmaceutically acceptable salt thereof.

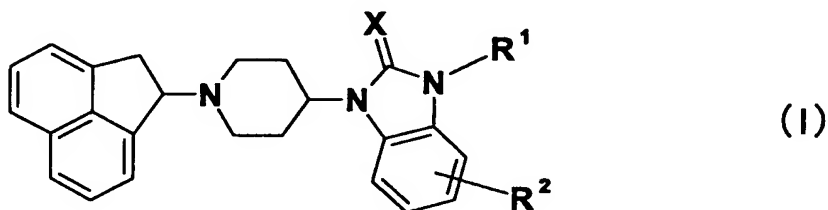
11. The compound of claim 10, wherein R<sup>2</sup> is hydrogen, and X is O.

12. The compound of claim 10, wherein R<sup>1</sup> is -C(O)-lower alkyl,  
lower alkyl-C(O)NR<sup>3</sup>R<sup>4</sup> (either R<sup>3</sup> or R<sup>4</sup> is hydrogen) or lower alkyl-  
C(O)NR<sup>3</sup>R<sup>4</sup> wherein R<sup>3</sup> and R<sup>4</sup> bind with an adjacent nitrogen atom to  
form a saturated nitrogen-containing hetero ring (the hetero ring  
may be substituted with lower alkyl, halogen, lower alkoxy,  
phenoxy or benzyloxy).

13. The compound of claim 10, which is selected from  
(RS)-1-[1-(acenaphthen-1-yl)piperidin-4-yl]-1,3-dihydro-2H-  
benzoimidazol-2-one,  
(R)-1-[1-(acenaphthen-1-yl)piperidin-4-yl]-1,3-dihydro-2H-  
benzoimidazol-2-one,  
(S)-1-[1-(acenaphthen-1-yl)piperidin-4-yl]-1,3-dihydro-2H-  
benzoimidazol-2-one,  
(R)-3-acetyl-1-[1-(acenaphthen-1-yl)piperidin-4-yl]-1,3-dihydro-  
2H-benzoimidazol-2-one,  
(R)-2-{3-[1-(acenaphthen-1-yl)piperidin-4-yl]-2,3-dihydro-2-oxo-  
benzoimidazol-1-yl}-N-methylacetamide, and  
(R)-1-[1-(acenaphthen-1-yl)piperidin-4-yl]-3-(2-oxo-2-piperazin-

1-ylethyl)-1,3-dihydro-2H-benzoimidazol-2-one.

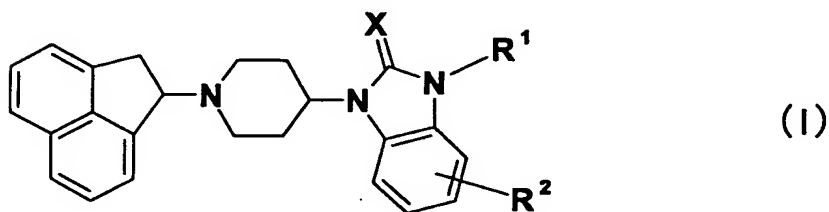
14. The preventive and/or therapeutic agent of claim 1 or 2,  
wherein the ORL-1 receptor agonist is a compound represented by  
5 the formula (I)



wherein each symbol is as defined above, a racemic mixture thereof, an enantiomer corresponding thereto, or a pharmaceutically acceptable salt thereof.

10

15. A method of preventing and/or treating a sleep disorder, comprising administering an effective amount of an ORL-1 receptor agonist to the patients.
- 15 16. The method of claim 15, wherein the ORL-1 receptor agonist has an affinity of 1000 nmol/L or less IC<sub>50</sub> value for ORL-1 receptor, and further inhibits cAMP elevation caused by a cAMP inducer by 50% or more at a concentration of 1000 nmol/L or less.
- 20 17. The method of claim 15, wherein the ORL-1 receptor agonist is a compound represented by the formula (I)

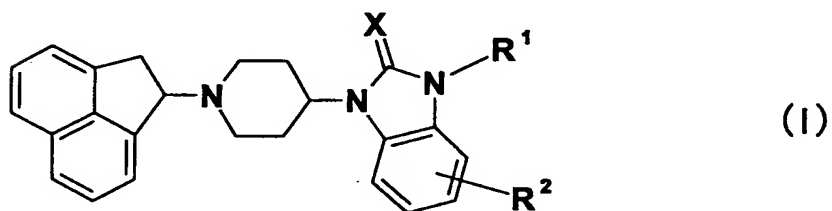


wherein each symbol is as defined above, a racemic mixture thereof, an enantiomer corresponding thereto, or a  
25 pharmaceutically acceptable salt thereof.

18. Use of an ORL-1 receptor agonist for manufacturing a preventive and/or therapeutic agent for a sleep disorder.

19. The use of claim 18, wherein ORL-1 receptor agonist has an affinity of 1000 nmol/L or less  $IC_{50}$  value for ORL-1 receptor, and further inhibits cAMP elevation caused by a cAMP inducer by 50% or more at a concentration of 1000 nmol/L or less.

20. The use of claim 18, wherein ORL-1 receptor agonist is a compound represented by the formula (I)



wherein each symbol is as defined above, a racemic mixture thereof, an enantiomer corresponding thereto, or a pharmaceutically acceptable salt thereof.